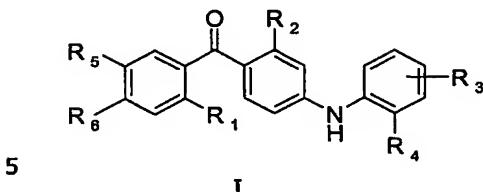


CLAIMS

1. A compound of general formula I



wherein

10 R_1 is halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} alkylamino, C_{1-4} alkoxycarbonyl, cyano, $-CONH_2$ or nitro;

15 R_2 is hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} alkylamino, C_{1-4} alkoxycarbonyl, cyano, $-CONH_2$, phenyl or nitro;

20 R_3 represents one or more, same or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, cyano, carboxy, $CONH_2$, nitro, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-4} alkoxycarbonyl;

25 R_4 is hydrogen, halogen, nitro, R_8 or Y_1R_8 ;

Y_1 is $-O-$, $-S-$, $-S(O)-$, $-S(O)_2-$, $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$, $-C(O)NR_a-$, $-C(O)NR_aO-$, $-C(O)-$, $-C(O)O-$, $-NR_aC(O)O-$, $-S(O)_2NR_a-$, $-NR_aS(O)_2-$;

30 R_a , R_b and R_c are the same or different, each representing hydrogen, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{3-8} carbocyclyl, C_{1-12} heterocyclyl or aryl, each of C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{3-8} carbocyclyl, C_{1-12} heterocyclyl or aryl being optionally substituted by one or more, same or different substituents represented by R_7 ;

R_8 is hydrogen, C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-12} carbocyclyl or C_{1-12} heterocyclyl, each of C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-12} carbocyclyl.

C_{1-12} carbocyclyl or C_{1-12} heterocyclyl being optionally substituted by one or more, same or different substituents represented by R_7 ;

5 R_7 is halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4} alkyl, C_{1-6} hydroxyalkyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} alkylamino, C_{1-4} alkoxycarbonyl, C_{1-9} trialkylammonium in association with an anion, cyano, azido, nitro, $-S(O)_2NH_2$, $-S(O)_2NR_aR_b$, $-S(O)_2R$, -COOH, $-CONH_2$, $-NR_aC(O)R'$, $-CONHR'$ or $-CONRR'$, wherein R and R' are same or different, each representing hydrogen or C_{1-3} alkyl;

10 one of R_5 and R_6 is $-COOH$, $-C(O)NHOH$, $-C(O)NNH_2$, Y_2R_9 , $Y_2R_9Y_3R_{10}$, C_{1-6} alkyl- Y_2R_9 , C_{1-6} alkyl- $Y_2R_9Y_3R_{10}$, C_{2-6} alkenyl- Y_2R_9 , C_{2-6} alkenyl- $Y_2R_9Y_3R_{10}$, $Y_2R_9-C_{1-6}$ -alkyl- Y_3R_{10} , $Y_2R_9-C_{2-6}$ -alkenyl- Y_3R_{10} , C_{3-12} carbocyclyl- Y_2R_9 , C_{3-12} carbocyclyl- $Y_2R_9Y_3R_{10}$, C_{1-12} heterocyclyl- Y_2R_9 , C_{1-12} heterocyclyl- $Y_2R_9Y_3R_{10}$, C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_2R_9 , C_{3-12} carbocyclyl- C_{1-6} -alkyl- $Y_2R_9Y_3R_{10}$, C_{1-12} heterocyclyl- C_{1-6} -alkyl- $Y_2R_9Y_3R_{10}$, C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_3R_{10} , C_{1-12} heterocyclyl- C_{1-6} -alkyl- Y_3R_{10} , C_{1-12} heterocyclyl- C_{1-10} 15 alkyl, C_{3-12} carbocyclyl- C_{1-10} alkyl, C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl; C_{3-12} carbocyclyl or C_{1-12} heterocyclyl, each of which being optionally substituted by one or more, same or different substituents represented by R_7 , and the other is hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkoxy, C_{1-4} alkylthio, C_{1-6} alkylamino, C_{1-4} alkoxycarbonyl, cyano, $-CONH_2$ or nitro,

20 with the proviso that when R_5 or R_6 is phenyl, C_{1-5} alkyl or C_{2-3} alkenyl, said R_5 or R_6 is substituted by one or more, same or different substituents represented by R_7 (except three fluorine when R_5 or R_6 is methyl) or by Y_1R_8 ,

25 with the further proviso that when R_5 or R_6 is $-COOH$, Y_1 cannot be $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$ or $-NR_aC(O)O-$, and R_3 or R_4 cannot be nitro,

30 with the further proviso that when R_2 is hydrogen, one of R_5 or R_6 is not hydrogen or optionally substituted ($C_{3-C_{18}}$ heterocyclyl, C_{1-7} alkyl, C_{2-7} alkenyl, C_{2-7} alkynyl or C_{1-7} alkoxy);

35 Y_2 is $-O-$, $-S-$, $-S(O)-$, $-S(O)_2-$, $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$, $-C(O)NR_a-$, $-C(O)NR_aO-$, $-C(O)-$, $-NR_aC(O)O-$, $-NR_aS(O)_2-$, $-OC(O)-$, $-C(O)O-$, $-C(O)NR_aNR_bC(S)NR_c-$, $-C(O)NR_aNR_b-$, or $-S(O)_2NR_a-$;

R_9 is C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-12} carbocyclyl, C_{1-12} heterocyclyl, C_{3-12} carbocyclyl- C_{1-10} alkyl, or C_{1-12} heterocyclyl- C_{1-10} alkyl, C_{3-6} carbocyclyl- C_{1-6} alkenyl, C_{3-6} carbocyclyl- C_{2-6} alkynyl, each being optionally substituted by one or more, same or different substituents represented

5 by R_7 ,

with the proviso that when Y_2 is $-O-$, $-NR_a-$, $-S-$ or $-C(O)O-$, and R_9 is C_{1-6} alkyl, said C_{1-6} alkyl is substituted by one or more, same or different substituents represented by R_7 or by Y_3R_{10} ;

10

Y_3 is $-O-$, $-S-$, $-S(O)-$, $-S(O)_2-$, $-NR_a-$, $-NR_aC(O)NR_b-$, $-NR_aC(O)-$, $-C(O)NR_a-$, $-C(O)NR_aO-$, $-C(O)-$, $-NR_aC(O)O-$, $-NR_aS(O)_2-$, $-OC(O)-$ or $-C(O)O-$;

15

R_{10} is C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkenyl, C_{2-10} alkynyl, C_{3-12} carbocyclyl or C_{1-12} heterocyclyl, each being optionally substituted by one or more, same or different substituents represented by R_7 ;

20

or, when one of R_5 or R_6 is the group $-C(O)NR_aR_9$, R_a and R_9 together with the nitrogen atom to which they are attached form a C_{1-12} heterocyclic ring optionally comprising one or more additional heteroatoms selected from the group consisting of O, S and N, optionally substituted with one or more substituents represented by R_7 ;

or a pharmaceutically acceptable salt, solvate, or ester thereof.

25

2. A compound according to claim 1, wherein R_1 is halogen, trifluoromethyl, C_{1-4} alkyl, C_{1-4} alkoxy or nitro.

3. A compound according to claim 2, wherein R_1 is methyl, ethyl, methoxy, ethoxy, bromo, fluoro or chloro.

30

4. A compound according to any one of claims 1-3, wherein R_2 is hydrogen, halogen, amino, nitro, C_{1-4} alkyl or C_{1-4} alkoxy.

35

5. A compound according to claim 4, wherein R_2 is hydrogen, methyl, ethyl, methoxy, ethoxy, nitro, bromo, fluoro or chloro.

6. A compound according to any one of claims 1-5, wherein R₃ is hydrogen, halogen, C₁₋₄alkyl or C₁₋₄alkoxy.
7. A compound according to claim 6, wherein R₃ is hydrogen, methyl, ethyl, methoxy, ethoxy, bromo, fluoro or chloro.
5
8. A compound according to any one of claims 1-7, wherein R₃ represents one substituent.
- 10 9. A compound according to claim 8, wherein R₃ is in the meta position with respect to R₄ and para with respect to -NH, or wherein R₃ is in the meta position with respect to R₄ and ortho with respect to -NH, or wherein R₃ is in the ortho position with respect to R₄ and meta with respect to -NH.
- 15 10. A compound according to any one of claims 1-9, wherein one of R₃ and R₄ is fluorine.
11. A compound according to any one of claims 1-10, wherein Y₁ is -O-, -NR_a-, -NR_aC(O)NR_b-, -NR_aC(O)-, -C(O)NR_a-, -NR_aC(O)O- or -NR_aS(O)₂.
20
12. A compound according to any one of claims 1-11, wherein R₈ is hydrogen, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₃₋₆carbocyclyl or C₁₋₆heterocyclyl.
13. A compound according to any one of claims 1-11, wherein R₄ is C₁₋₄alkyl, amino, halogen, nitro, -NHC(O)O-C₁₋₄alkyl, -NHC(O)C₁₋₄alkyl, -NHC(O)-C₁₋₄alkyl-COOH, -NHC(O)NH-C₁₋₄alkyl-OH, -CH=CH-C₁₋₄alkyl-NH₂, -NHC(O)NH-C₁₋₄alkyl, -NHC(O)NH-C₁₋₆cycloalkyl, NHC(O)CF₃ or -NHC(O)O-C₁₋₆cycloalkyl.
25
14. A compound according to claim 13, wherein R₄ is methyl, ethyl, amino, bromo, fluoro, chloro, nitro, -NHC(O)OCH₂CH₃, -NHC(O)CH₂CH₃, -NHC(O)CH₃, -NHC(O)CH₂CH₂COOH, -NHC(O)NHCH₂CH₂OH, -CH=CHCH₂NH₂, -NHC(O)NHCH₂CH₃, -NHC(O)NH-cyclohexyl, NHC(O)CF₃ or -NHC(O)O-cyclopentyl.
30
15. A compound according to any one of claims 1-14, wherein R₇ is halogen, hydroxy, amino, -S(O)₂CH₃, trifluoromethyl, cyano, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkyl, C₁₋
35

$\text{C}_{1-4}\text{alkylthio}$, $\text{C}_{1-4}\text{alkylamino}$, $\text{C}_{1-4}\text{alkoxycarbonyl}$, $-\text{COOH}$, $-\text{CONH}_2$, $-\text{S}(\text{O})_2\text{NH}_2$, azido, $-\text{CONR}'$ or $-\text{CONRR}'$, wherein R and R' are as indicated in claim 1.

16. A compound according to claim 15, wherein R_7 is methyl, ethyl, methoxy, ethoxy, 5 hydroxy, methoxycarbonyl, ethoxycarbonyl, dimethylamino, ethylamino, amino, $-\text{COOH}$, fluoro, chloro, bromo, $-\text{CONH}_2$, $-\text{S}(\text{O})_2\text{NH}_2$, azido, methylthio, $-\text{S}(\text{O})_2\text{CH}_3$, trifluoromethyl, cyano or hydroxymethyl.

17. A compound according to any one of claims 1-16, wherein one of R_5 and R_6 is Y_2R_9 , 10 $\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9$, $\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{C}_{2-4}\text{alkenyl}-\text{Y}_2\text{R}_9$, $\text{C}_{2-4}\text{alkenyl}-\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{Y}_2\text{R}_9-\text{C}_{1-4}\text{alkyl}-\text{Y}_3\text{R}_{10}$, $\text{Y}_2\text{R}_9-\text{C}_{2-4}\text{alkenyl}-\text{Y}_3\text{R}_{10}$, $\text{C}_{1-6}\text{heterocycl}-\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9$, $\text{C}_{1-4}\text{alkyl}-\text{C}_{1-6}\text{heterocycl}$, $\text{C}_{1-4}\text{alkyl}-\text{C}_{3-6}\text{carbocycl}$, $\text{C}_{3-6}\text{carbocycl}-\text{C}_{1-4}\text{alkyl}$, $\text{C}_{1-4}\text{alkyl}$ substituted by R_7 , $\text{C}_{2-4}\text{alkenyl}$, $\text{C}_{2-4}\text{alkynyl}$, $\text{C}_{3-6}\text{carbocycl}$, $\text{C}_{1-6}\text{heterocycl}$, $-\text{COOH}$, $-\text{C}(\text{O})\text{NHOH}$, or $\text{C}(\text{O})\text{NHNH}_2$, and the other is hydrogen, halogen, $\text{C}_{1-4}\text{alkyl}$ or $\text{C}_{1-4}\text{alkoxy}$.

18. A compound according to claim 17, wherein R_5 is Y_2R_9 , $\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9$, $\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{C}_{2-4}\text{alkenyl}-\text{Y}_2\text{R}_9$, $\text{C}_{2-4}\text{alkenyl}-\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, $\text{Y}_2\text{R}_9-\text{C}_{1-4}\text{alkyl}-\text{Y}_3\text{R}_{10}$, $\text{Y}_2\text{R}_9-\text{C}_{2-4}\text{alkenyl}-\text{Y}_3\text{R}_{10}$, $\text{C}_{1-6}\text{heterocycl}-\text{C}_{1-4}\text{alkyl}-\text{Y}_2\text{R}_9$, $\text{C}_{1-4}\text{alkyl}-\text{C}_{1-6}\text{heterocycl}$, $\text{C}_{1-4}\text{alkyl}-\text{C}_{3-6}\text{carbocycl}$, $\text{C}_{3-6}\text{carbocycl}-\text{C}_{1-4}\text{alkyl}$, $\text{C}_{1-4}\text{alkyl}$ substituted by R_7 , $\text{C}_{2-4}\text{alkenyl}$, C_{2-4} 20 alkynyl, $\text{C}_{3-6}\text{carbocycl}$, $\text{C}_{1-6}\text{heterocycl}$, $-\text{COOH}$, $-\text{C}(\text{O})\text{NHOH}$, or $\text{C}(\text{O})\text{NHNH}_2$, and R_6 is hydrogen, halogen, $\text{C}_{1-4}\text{alkyl}$ or $\text{C}_{1-4}\text{alkoxy}$.

19. A compound according to claim 17, wherein one of R_5 and R_6 is Y_2R_9 , $\text{Y}_2\text{R}_9\text{Y}_3\text{R}_{10}$, phenyl, methylphenyl, methyl, propenyl, phenyl- Y_2R_9 , methyl- Y_2R_9 , tetrazole, ethynyl, 25 triazole, thiadiazole, dihydrooxazole, triazole- Y_2R_9 , $-\text{COOH}$, $-\text{C}(\text{O})\text{NHOH}$, or $\text{C}(\text{O})\text{NHNH}_2$, and the other is hydrogen, fluoro, chloro, methyl or methoxy.

20. A compound according to any one of claims 1-19, wherein R_6 is hydrogen.

21. A compound according to any one of claims 1-17 or 19, wherein R_5 is hydrogen.

22. A compound according to any one of claims 1-21, wherein Y_2 is $-\text{O}-$, $-\text{NR}_a-$, $-\text{NR}_a\text{C}(\text{O})\text{NR}_b-$, $-\text{NR}_a\text{C}(\text{O})-$, $-\text{C}(\text{O})\text{NR}_a-$, $-\text{C}(\text{O})\text{NR}_a\text{O}-$, $-\text{C}(\text{O})-$, $-\text{NR}_a\text{C}(\text{O})\text{O}-$, $-\text{NR}_a\text{S}(\text{O})_2-$, $-\text{C}(\text{O})\text{NR}_a\text{NR}_b-$ or $-\text{S}(\text{O})_2\text{NR}_a-$.

23. A compound according to any one of claims 1-22, wherein Y_3 is $-O-$, $-NR_aC(O)-$, $-C(O)NR_a-$, $-C(O)-$, $-C(O)O-$ or $-NR_aC(O)O-$.

24. A compound according to any one of claims 1-23, wherein R_9 is $C_{1-4}alkyl-C_{1-6}$ heterocyclyl, $C_{1-4}alkyl-C_{3-6}carbocyclyl$, $C_{1-6}alkyl$, $C_{2-4}alkenyl$, $C_{2-4}alkynyl$, $C_{3-10}carbocyclyl$, $C_{1-6}heterocyclyl$, $C_{3-6}carbocyclyl-C_{1-6}alkyl$, $C_{1-6}heterocyclyl-C_{1-6}alkyl$, $C_{3-6}carbocyclyl-C_{2-4}alkenyl$ or $C_{3-6}carbocyclyl-C_{2-4}alkynyl$.

25. A compound according to claims 1-24, wherein R_9 is $C_{1-4}heterocyclyl$, $C_{1-6}alkyl$, $C_{1-3}alkyl-C_{1-5}heterocyclyl$, $C_{6-10}carbocyclyl$, $C_{1-3}alkyl-C_6carbocyclyl$, $C_3alkenyl$, $C_6carbocyclyl-C_1alkyl$, $C_6carbocyclyl-C_3alkenyl$ or $C_6carbocyclyl-C_2alkynyl$.

26. A compound according to claim 25, wherein R_9 is morpholinyl, propylmorpholinyl, piperazinyl, methyl, ethyl, n-propyl, n-butyl, tert-butyl, isobutyl, hexyl, Isopropyl, dimethylpropyl, methyltetrahydrofuranyl, methylpyridinyl, ethylpiperazinyl, cyclohexyl, propyloxopyrrolidinyl, benzyl, methylcyclohexyl, propylphenyl, ethylphenyl, ethylmorpholinyl, allyl, ethylfuranyl, phenyl, methyldioxoimidazolidinyl, dioxohexahydropyrimidinyl, thiazolyl, methylphenyl, ethylphenyl, methyldioxolanyl, methylthiazolyl, propenylphenyl, methylfuranyl, thiophenyl, tetrahydropyranyl or ethynylphenyl.

27. A compound according to any one of claims 1-26, wherein R_{10} is $C_{1-4}alkyl$, $C_{2-4}alkenyl$, $C_{3-6}carbocyclyl$ or $C_{1-6}heterocyclyl$.

28. A compound according to claim 27, wherein R_{10} is methyl, ethyl, methacryl, tert-butyl, tetrahydropyranyl or ethenyl.

29. A compound according to any one of claims 1-28 wherein said heterocycle or heterocyclyl contains one or two oxygen atoms or one sulphur atom, and/or up to two nitrogen atoms, or three or four nitrogen atoms, wherein optionally one or two CH_2 ring fragments is/are replaced by one or two $-C(O)-$ fragments respectively.

30. A compound according to any one of claims 1-29, wherein R_a , R_b , or R_c independently represent hydrogen, methyl, ethyl, 2-hydroxyethyl or 2-methoxyethyl.

31. A compound according to any one of claims 1-30 selected from the group consisting of

[2-Chloro-4-(4-fluoro-2-methylphenylamino)phenyl]-[2-methyl-5-(morpholine-4-carbonyl)phenyl]-methanone (Compound 101),

5 [2-Chloro-4-(4-fluoro-2-methylphenylamino)phenyl]-[2-methyl-5-(4-methylpiperazine-1-carbonyl)phenyl]-methanone (Compound 102),

3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-*N*-methoxy-4,*N*-dimethylbenzamide (Compound 103),

3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-4-methyl-*N*-(tetrahydrofuran-2-ylmethyl)benzamide (Compound 104),

10 3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-4,*N*-dimethyl-*N*-(tetrahydrofuran-2-ylmethyl)benzamide (Compound 105),

3-[2-Chloro-4-(4-fluoro-2-methyl-phenylamino)benzoyl]-*N*-(2-methoxyethyl)-4-methylbenzamide (Compound 106),

15 3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-4-methyl-*N*-(3-morpholin-4-ylpropyl)benzamide (Compound 107),

[2-Chloro-4-(4-fluoro-2-methylphenylamino)phenyl]-{5-[4-(2-methoxyethyl)piperazine-1-carbonyl]-2-methylphenyl}-methanone (Compound 108),

3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-4-methyl-*N*-pyridin-4-ylmethylbenzamide (Compound 109),

20 3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-4-methyl-*N*-pyridin-2-ylmethylbenzamide (Compound 110),

3-[2-Chloro-4-(4-fluoro-2-methyl-phenylamino)-benzoyl]-4-methyl-*N*-pyridin-3-ylmethyl-benzamide (Compound 111),

25 3-[4-(2-Aminophenylamino)-2-chlorobenzoyl]-*N*-(2-hydroxyethyl)-4-methylbenzamide (Compound 112),

3-[4-(2-Amino-4-bromophenylamino)-2-chlorobenzoyl]-*N*-(2-hydroxyethyl)-4-methylbenzamide (Compound 113),

3-[4-(4-Bromo-2-methylphenylamino)-2-chlorobenzoyl]-*N*-(2-hydroxyethyl)-4-30 methylbenzamide (Compound 114),

3-[2-Chloro-4-(2,4-difluorophenylamino)benzoyl]-*N*-(2-hydroxyethyl)-4-methylbenzamide (Compound 115),

3-[4-(2-Aminophenylamino)-2-chlorobenzoyl]-*N*-(2-methoxyethyl)-4-methylbenzamide (Compound 116),

35 3-[4-(2-Aminophenylamino)-2-chlorobenzoyl]-*N*-ethyl-4-methylbenzamide (Compound 117),

3-[4-(2-Aminophenylamino)-2-chlorobenzoyl]-*N*-(3-hydroxypropyl)-4-methylbenzamide (Compound 118),
3-[2-Chloro-4-(4-fluoro-2-methylphenylamino)benzoyl]-*N*-(2-hydroxyethyl)-4-methylbenzamide (Compound 119),
5 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (Compound 120),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4,*N*-dimethyl-benzamide (Compound 121),
(2-{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-
10 acetylamino)-acetic acid ethyl ester (Compound 122),
{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetic acid ethyl ester (Compound 123),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(2-methoxy-ethyl)-4-methyl-benzamide (Compound 124),
15 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-cyclohexyl-4-methyl-benzamide (Compound 125),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-ethyl-4-methyl-benzamide (Compound 126),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(6-hydroxy-hexyl)-4-
20 methyl-benzamide (Compound 127),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-isopropyl-4-methyl-benzamide (Compound 128),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-isobutyl-4-methyl-benzamide (Compound 129),
25 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(2,2-dimethyl-propyl)-4-methyl-benzamide (Compound 130),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(3-methoxy-propyl)-4-methyl-benzamide (Compound 131),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-*N*-(3-(2-oxo-
30 pyrrolidin-1-yl)-propyl)-benzamide (Compound 132),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-(2-dimethylamino-ethyl)-4-methyl-benzamide (Compound 133),
2-Methyl-acrylic acid 2-{3-[2-chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-ethyl ester (Compound 134),
35 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-cis-(4-hydroxy-cyclohexyl)-4-methyl-benzamide (Compound 135),

3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-*N*-trans-(4-hydroxy-cyclohexyl)-4-methyl-benzamide (Compound 136),
(2-{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-ethyl)-carbamic acid tert-butyl ester (Compound 137),
5 *N*-(2-Amino-ethyl)-3-[2-chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzamide (Compound 138),
(2-{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetylamino)-acetic acid (Compound 139),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methoxy-
10 benzamide (compound 140),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2,2-difluoro-ethyl)-4-methoxy-
benzamide (compound 141),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-fluoro-ethyl)-4-methoxy-
benzamide (compound 142),
15 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2,3-dihydroxy-propyl)-4-
methoxy-benzamide (compound 143),
N-Carbamoylmethyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-
benzamide (compound 144),
N-Carbamoylmethyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-
20 benzamide (Compound 145),
N-Benzyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide
(compound 146),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-fluoro-ethyl)-4-methyl-
benzamide (compound 147),
25 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2,2,2-trifluoro-ethyl)-
benzamide (compound 148),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-ethyl-4-methyl-benzamide
(compound 149),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-cyclohexylmethyl-4-methyl-
30 benzamide (compound 150),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-propyl)-4-methyl-
benzamide (compound 151),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2,3-dihydroxy-propyl)-4-
methyl-benzamide (compound 152),
35 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(1-hydroxymethyl-propyl)-4-
methyl-benzamide (compound 153),

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2,2,3,3,3-pentafluoropropyl)-benzamide (compound 154),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(3-hydroxy-propyl)-4-methyl-benzamide (compound 155),
5 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-1,1-dimethyl-ethyl)-4-methyl-benzamide (compound 156),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-1-hydroxymethyl-1-methyl-ethyl)-4-methyl-benzamide (compound 157),
{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetic
10 acid ethyl ester (compound 158),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(4-hydroxy-butyl)-4-methyl-benzamide (compound 159),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(3-hydroxy-1,1-dimethyl-butyl)-4-methyl-benzamide (compound 160),
15 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(3-phenyl-propyl)-benzamide (compound 161),
(R)-3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(1-hydroxymethyl-3-methyl-butyl)-4-methyl-benzamide (compound 162),
3-[4-(2,4-Difluoro-phenylamino)-benzoyl]-*N*-(2-fluoro-ethyl)-4-methyl-benzamide
20 (compound 163),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-isopropyl-4-methyl-benzamide (compound 164),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-cyclohexyl-4-methyl-benzamide (compound 165),
25 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2,2-difluoro-ethyl)-4-methyl-benzamide (compound 166),
5-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-4-oxo-pentanoic acid methyl ester (compound 167),
30 *N*-[(2-Carbamoyl-ethyl)carbamoyl]-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 168),
(2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetylamino)-acetic acid ethyl ester (compound 169),
35 *N*-Allyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 170),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2-sulfamoyl-ethyl)-benzamide (compound 171),

N-(2-Acetyl-amino-ethyl)-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 172),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methoxy-benzamide (compound 173),
5 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2-fluoro-ethyl)-4-methoxy-benzamide (compound 174),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2,3-dihydroxy-propyl)-4-methoxy-benzamide (compound 175),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(3-hydroxy-propyl)-4-methoxy-
10 benzamide (compound 176),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-*N*-phenethyl-benzamide (compound 177),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-1,1-dimethyl-ethyl)-4-methoxy-benzamide (compound 178),
15 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-*N*-(2-morpholin-4-yl-ethyl)-benzamide (compound 179),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-1-hydroxymethyl-1-methyl-ethyl)-4-methoxy-benzamide (compound 180),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methoxy-*N*-
20 methyl-benzamide (compound 181),
{3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoylamino}-acetic acid ethyl ester (compound 182),
(2-{3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoylamino}-acetylamino)-acetic acid ethyl ester (compound 183),
25 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-*N,N*-bis-(2-hydroxy-ethyl)-4-methoxy-benzamide (compound 184),
3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-*N,N*-bis-(2-methoxy-ethyl)-benzamide (compound 185),
3-[2-Chloro-4-(3-fluoro-2-methyl-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-
30 methyl-benzamide (compound 186),
3-[2-Chloro-4-(3-fluoro-2-methyl-phenylamino)-benzoyl]-4-methyl-*N*-(2,2,2-trifluoro-ethyl)-benzamide (compound 187),
3-[2-Chloro-4-(2-chloro-4-fluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 188),
35 3-[2-Chloro-4-(2-chloro-4-fluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2,2,2-trifluoro-ethyl)-benzamide (compound 189),

3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 190),
3-(2-Chloro-4-phenylamino-benzoyl)-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 191),
5 3-[2-Chloro-4-(3,5-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 192),
3-[2-Chloro-4-(3-fluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 193),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methoxy-
10 benzamide (compound 194),
3-(2-Chloro-4-phenylamino-benzoyl)-*N*-(2-hydroxy-ethyl)-4-methoxy-benzamide (compound 195),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-*N*-(2,2-difluoro-ethyl)-4-methoxy-
15 benzamide (compound 196),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-*N*-(2-fluoro-ethyl)-4-methoxy-
benzamide (compound 197),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-*N*-(2,3-dihydroxy-propyl)-4-methoxy-
20 benzamide (compound 198),
N-Carbamoylmethyl-3-[2-chloro-4-(4-fluoro-phenylamino)-benzoyl]-4-methoxy-
benzamide (compound 199),
3-(2-Chloro-4-phenylamino-benzoyl)-*N*-(2,2-difluoro-ethyl)-4-methoxy-benzamide (compound 200),
3-(2-Chloro-4-phenylamino-benzoyl)-*N*-(2-fluoro-ethyl)-4-methoxy-benzamide (compound 201),
25 3-(2-Chloro-4-phenylamino-benzoyl)-*N*-(2,3-dihydroxy-propyl)-4-methoxy-benzamide (compound 202),
N-Carbamoylmethyl-3-(2-chloro-4-phenylamino-benzoyl)-4-methoxy-benzamide (compound 203),
4-Chloro-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-
30 benzamide (compound 204),
(2-{3-Chloro-4-[5-(2-hydroxy-ethylcarbamoyl)-2-methyl-benzoyl]-phenylamino}-
phenyl)-carbamic acid ethyl ester (compound 205),
3-[2-Chloro-4-(2-propionylamino-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-4-
methyl-benzamide (compound 206),
35 3-[4-(2-Acetylamino-phenylamino)-2-chloro-benzoyl]-*N*-(2-hydroxy-ethyl)-4-methyl-
benzamide (compound 207),

N-(2-{3-Chloro-4-[5-(2-hydroxy-ethylcarbamoyl)-2-methyl-benzoyl]-phenylamino}-phenyl)-succinamic acid (compound 208),
3-(2-Chloro-4-{2-[3-(2-hydroxy-ethyl)-ureido]-phenylamino}-benzoyl)-*N*-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 209),
5 [2-Chloro-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[2-methyl-4-(morpholine-4-carbonyl)-phenyl]-methanone (compound 210),
[4-(2-Amino-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-phenyl}-methanone (compound 211),
[4-(2-Amino-phenylamino)-2-chloro-phenyl]-[4-(2-hydroxy-ethoxy)-2-methyl-phenyl]-methanone (compound 212),
10 [4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-phenyl} (compound 213),
[4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-[4-(2-hydroxy-ethoxy)-2-methyl-phenyl]-methanone (compound 214),
15 [4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[3-(tetrahydro-pyran-2-yloxy)-propoxy]-phenyl}-methanone (compound 215),
[4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-[4-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 216),
[4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-[4-(2-fluoro-ethoxy)-2-methyl-phenyl]-methanone (compound 217),
20 [4-(4-Bromo-2-methyl-phenylamino)-2-chloro-phenyl]-[4-(2-fluoro-ethoxy)-2-methyl-phenyl]-methanone (compound 218),
[4-(2-Amino-4-bromo-phenylamino)-2-chloro-phenyl]-[4-(2-methoxy-ethoxy)-2-methyl-phenyl]-methanone (compound 219),
25 [4-(4-Bromo-2-methyl-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-phenyl}-methanone (compound 220),
[4-(4-Bromo-2-methyl-phenylamino)-2-chloro-phenyl]-[4-(2-hydroxy-ethoxy)-2-methyl-phenyl]-methanone (compound 221),
[4-(2-Azido-ethoxy)-2-methyl-phenyl]-[4-(4-bromo-2-methyl-phenylamino)-2-chloro-phenyl]-methanone (compound 222),
30 [4-(2-Amino-ethoxy)-2-methyl-phenyl]-[4-(4-bromo-2-methyl-phenylamino)-2-chloro-phenyl]-methanone (compound 223),
[4-(2-Bromo-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-phenyl}-methanone (compound 224),
35 {4-[2-(3-Amino-propenyl)-phenylamino]-2-chloro-phenyl}-[2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-phenyl]-methanone (compound 225),

{4-[2-(3-Amino-propenyl)-phenylamino]-2-chloro-phenyl}-[4-(2-hydroxy-ethoxy)-2-methyl-phenyl]-methanone (compound 226),
1-(2-{3-Chloro-4-[4-(2-hydroxy-ethoxy)-2-methyl-benzoyl]-phenylamino}-phenyl)-3-ethyl-urea (compound 227),
5 1-[5-Bromo-2-(3-chloro-4-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-benzoyl}-phenylamino)-phenyl]-3-ethyl-urea (compound 228),
1-(5-Bromo-2-{3-chloro-4-[4-(2-hydroxy-ethoxy)-2-methyl-benzoyl]-phenylamino}-phenyl)-3-ethyl-urea (compound 229),
1-[5-Bromo-2-(3-chloro-4-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-benzoyl}-phenylamino)-phenyl]-3-cyclohexyl-urea (compound 230),
10 1-[5-Bromo-2-(3-chloro-4-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-benzoyl}-phenylamino)-phenyl]-3-(2-hydroxy-ethyl)-urea (compound 231),
1-(5-Bromo-2-{3-chloro-4-[4-(2-hydroxy-ethoxy)-2-methyl-benzoyl]-phenylamino}-phenyl)-3-(2-hydroxy-ethyl)-urea (compound 232),
15 N-[5-Bromo-2-(3-chloro-4-{2-methyl-4-[2-(tetrahydro-pyran-2-yloxy)-ethoxy]-benzoyl}-phenylamino)-phenyl]-succinamic acid (compound 233),
(4-Allyloxy-2-methyl-phenyl)-[4-(2-amino-4-bromo-phenylamino)-2-chloro-phenyl]-methanone (compound 234),
N-{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-acetamide (compound 235),
20 1-{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-3-ethyl-urea (compound 236),
{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-carbamic acid ethyl ester (compound 237),
25 N-{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-2,2,2-trifluoro-acetamide (compound 238),
N-{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-succinamic acid (compound 239),
{2-[4-(4-Allyloxy-2-methyl-benzoyl)-3-chloro-phenylamino]-5-bromo-phenyl}-
30 carbamic acid cyclopentyl ester (compound 240),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methoxy-propionamide (compound 241),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-propionamide (compound 242),
35 N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-2-(2-methoxy-ethoxy)-acetamide (compound 243),

N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-morpholin-4-yl-propionamide (compound 244),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-hydroxy-propionamide (compound 245),
5 *N*-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-furan-2-yl-propionamide (compound 246),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-2-hydroxy-benzamide (compound 247),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-2-(2,5-dioxo-10 imidazolidin-4-yl)-acetamide (compound 248),
2,6-Dioxo-hexahydro-pyrimidine-4-carboxylic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-amide (compound 249),
Acrylic acid 2-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenylcarbamoyl}-ethyl ester (compound 250),
15 *N*-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methylsulfanyl-propionamide (compound 251),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methanesulfonyl-propionamide (compound 252),
Ethanesulfonic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-20 phenyl}-amide (compound 253),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-4-methoxy-benzenesulfonamide (compound 254),
N-(5-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenylsulfamoyl}-4-methyl-thiazol-2-yl)-acetamide (compound 255),
25 5-Acetyl-2-chloro-*N*-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-benzenesulfonamide (compound 256),
Naphthalene-2-sulfonic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-amide (compound 257),
N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-*C*-phenyl-30 methanesulfonamide (compound 258),
2-Methyl-acrylic acid 2-(3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-ethyl ester (compound 259),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(2-hydroxy-ethyl)-urea (compound 260),
35 (3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-acetic acid ethyl ester (compound 261),

1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-methoxy-phenyl)-urea (compound 262),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-trifluoromethyl-phenyl)-urea (compound 263),
5 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-propyl-urea (compound 264),
3-(3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-proplonic acid ethyl ester (compound 265),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-cyclohexyl-
10 urea (compound 266),
1-Allyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea (compound 267),
1-Benzyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea (compound 268),
15 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-ethyl-urea (compound 269),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-phenyl-urea (compound 270),
1-Butyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea
20 (compound 271),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-phenethyl-urea (compound 272),
2-(3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-benzoic acid methyl ester (compound 273),
25 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-cyano-phenyl)-urea (compound 274),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-isopropyl-urea (compound 275),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(4-
30 methoxy-phenyl)-urea (compound 276),
{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid benzyl ester (compound 277),
{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid allyl ester (compound 278),
35 {3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid ethyl ester (compound 279),

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-butylamino)-2-methyl-phenyl]-methanone (compound 281),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[3'-hydroxymethyl-4-methyl-biphenyl-3-yl]-methanone (compound 282),
5 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[3'-hydroxy-4-methyl-biphenyl-3-yl]-methanone (compound 283),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[4'-methoxy-4-methyl-biphenyl-3-yl]-methanone (compound 284),
N-[3'-(2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl)-4'-methyl-biphenyl-3-yl]-10 acetamide (compound 285),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[4-methyl-3'-trifluoromethoxy-biphenyl-3-yl]-methanone (compound 286),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[3',4',5'-trifluoro-4-methyl-biphenyl-3-yl]-methanone (compound 288),
15 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[3',4'-dimethoxy-4-methyl-biphenyl-3-yl]-methanone (289),
3'-(2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl)-4'-methyl-biphenyl-3-carbonitrile (compound 290),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-4-methyl-20 benzenesulfonamide (compound 291),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (compound 292),
N-Allyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzenesulfonamide (compound 293),
25 N-(2-[3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzenesulfonylamino]-ethyl)-acetamide (compound 294),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-propyl-benzenesulfonamide (compound 295),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,3-dihydroxy-propyl)-4-30 methyl-benzenesulfonamide (compound 296),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-methoxy-ethyl)-4-methyl-benzenesulfonamide (compound 297),
[4-(4-Fluoro-2-methyl-phenylamino)-2-nitro-phenyl]-[5-(4-methoxy-benzyloxy)-2-methyl-phenyl]-methanone (compound 298),
35 [4-(4-Fluoro-2-methyl-phenylamino)-2-nitro-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 299),

[2-Amino-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 300),
[5-(2,2-Dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-methyl-phenyl]-[4-(4-fluoro-2-methyl-phenylamino)-2-nitro-phenyl]-methanone (compound 301),
5 [5-(2,3-Dihydroxy-propoxy)-2-methyl-phenyl]-[4-(4-fluoro-2-methyl-phenylamino)-2-nitro-phenyl]-methanone (compound 302),
[2-Amino-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-methyl-phenyl]-methanone (303),
[4-(4-Fluoro-2-methyl-phenylamino)-2-nitro-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (304),
10 [2-Amino-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 305),
[4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(4-methoxy-benzyloxy)-2-methyl-phenyl]-methanone (Compound 306),
15 [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (Compound 307),
[2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 308),
[4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 309),
20 [2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 310),
[4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-methyl-phenyl]-methanone (compound 311),
25 [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 312),
[2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 313),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]-methanone (compound 314),
30 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-fluoro-phenyl]-methanone (compound 315),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-fluoro-phenyl]-methanone (Compound 316),
35 2-{3-[2-Chloro-4-(4-chloro-2-methyl-phenylamino)-benzoyl]-4-fluoro-phenoxy}-N-methyl-acetamide (compound 317),

[2-Chloro-4-(4-chloro-2-methyl-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]-methanone (compound 318),
2-{3-[2-Chloro-4-(4-chloro-2-methyl-phenylamino)-benzoyl]-4-fluoro-phenoxy}-N,N-dimethyl-acetamide (compound 319),

5 [2-Chloro-4-(4-chloro-2-methyl-phenylamino)-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-fluoro-phenyl]-methanone (compound 320),
[2-Chloro-4-(4-chloro-2-methyl-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-fluoro-phenyl]-methanone (compound 321),
[2-Chloro-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]-methanone (compound 322),

10 [2-Chloro-4-(4-fluoro-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]-methanone (compound 323),
[2-Chloro-4-(4-fluoro-phenylamino)-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-fluoro-phenyl]-methanone (compound 324),

15 [2-Chloro-4-(2-chloro-4-fluoro-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]-methanone (compound 325),
[4-(2-Amino-phenylamino)-2-chloro-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-fluoro-phenyl]-methanone (compound 326),
[4-(2-Amino-phenylamino)-2-chloro-phenyl]-[2-fluoro-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 327),

20 [2-Chloro-4-(2,6-difluoro-phenylamino)-phenyl]-[2-chloro-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 328),
(±)-[2-Chloro-4-(2,6-difluoro-phenylamino)-phenyl]-[2-chloro-5-(2,3-dihydroxy-propoxy)-phenyl]-methanone (compound 329),

25 [5-(3-Bromo-propoxy)-2-chloro-phenyl]-[2-chloro-4-(2,6-difluoro-phenylamino)-phenyl]-methanone (compound 330),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-hydroxymethyl-2-methyl-phenyl]-methanone (compound 331),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-chloromethyl-2-methyl-phenyl]-methanone (compound 332),

30 (5-Azidomethyl-2-methyl-phenyl)-[2-chloro-4-(2,4-difluoro-phenylamino)-phenyl]-methanone (compound 333),
(5-Aminomethyl-2-methyl-phenyl)-[2-chloro-4-(2,4-difluoro-phenylamino)-phenyl]-methanone (compound 334),

35 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-hydroxymethyl-2-methoxy-phenyl]-methanone (compound 335),

Acetic acid 3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzyl ester (compound 336),
N-tert-Butoxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzamide (compound 337),
5 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-methoxy-4-methyl-benzamide (compound 338),
N-Butoxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 339),
10 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-cyclohexylmethoxy-4-methyl-benzamide (compound 340),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound 341),
N-benzyloxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 342),
15 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(4-methoxy-benzyloxy)-4-methyl-benzamide (compound 343),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid *N,N*'-dimethyl-hydrazide (compound 344),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-morpholin-4-yl-benzamide (compound 345),
20 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-hydroxy-4-methyl-benzamide (compound 346),
4-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-ethyl)-3-methyl-benzamide (compound 347),
25 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-propenyl)-2-methyl-phenyl]-methanone (compound 348),
4-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-3-carboxylic acid methyl ester (compound 349),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-furan-2-ylmethyl-4-methyl-benzamide (compound 350),
30 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(3-methoxy-phenyl)-4-methyl-benzamide (compound 351),
2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-benzoic acid methyl ester (compound 352),
35 3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-2-carboxylic acid methyl ester (compound 353),

4-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-3-carboxylic acid (compound 354),
2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-benzoic acid (compound 355),
5 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-[2-(2-hydroxy-ethylcarbamoyl)-phenyl]-4-methyl-benzamide (compound 356),
3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-2-carboxylic acid (2-hydroxy-ethyl)-amide (compound 357),
[2-Chloro-4-(4-fluoro-2-methyl-phenylamino)-phenyl]-[2-methyl-5-(1H-tetrazol-5-yl)-phenyl]-methanone (compound 358),
10 [4-(2-Amino-phenylamino)-2-chloro-phenyl]-[5-ethynyl-2-methyl-phenyl]-methanone (compound 359),
[4-(2-Amino-phenylamino)-2-chloro-phenyl]-[2-methyl-5-{1-[2-(tetrahydro-pyran-2-yloxy)-ethyl]-1H-[1,2,3]triazol-4-yl}-phenyl]-methanone (compound 360),
15 [4-(2-Amino-phenylamino)-2-chloro-phenyl]-[5-[1-(2-hydroxy-ethyl)-1H-[1,2,3]triazol-4-yl]-2-methyl-phenyl]-methanone (compound 361),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-ethynyl-2-methyl-phenyl]-methanone (compound 362),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid hydrazide
20 (compound 363),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid hydrazide (compound 364),
1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoyl}-4-ethyl-3-thio semicarbazide (compound 365),
25 [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(5-ethylamino-[1,3,4]thiadiazol-2-yl)-2-methyl-phenyl]-methanone (compound 366),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[2-methyl-5-(1H-tetrazol-5-yl)-phenyl]-methanone (compound 367),
3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-oxo-
30 propionic acid ethyl ester (compound 368),
[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(4,5-dihydro-oxazol-2-yl)-2-methyl-phenyl]-methanone (compound 369),
3-{2-Chloro-4-[2-(3-ethyl-ureido)-phenylamino]-benzoyl}-N-(2-hydroxy-ethyl)-4-methyl-benzamide (compound 370),
35 3-[2-Chloro-4-(2-nitrophenylamino)benzoyl]-N-(2-hydroxyethyl)-4-methylbenzamide (Compound 417),

3-[4-(4-Bromo-2-nitrophenylamino)-2-chlorobenzoyl]-*N*-(2-hydroxyethyl)-4-methylbenzamide (Compound 420),
3-[4-(4-Bromo-2-methylphenylamino)-2-chlorobenzoyl]-4-methylbenzoic acid (Compound 422),
5 3-[2-Chloro-4-(2,4-difluorophenylamino)benzoyl]-4-methylbenzoic acid (Compound 424),
2-Methylacrylic acid 2-{3-[2-chloro-4-(2,4-difluorophenylamino)benzoyl]-4-methylbenzoylamino}ethyl ester (Compound 425),
3-[2-Chloro-4-(2-nitrophenylamino)benzoyl]-*N*-(2-methoxyethyl)-4-methylbenzamide
10 (Compound 426),
3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid (Compound 432),
3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoic acid (compound
437),
15 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoic acid (compound 443),
3-[2-Chloro-4-(3-fluoro-2-methyl-phenylamino)-benzoyl]-4-methyl-benzoic acid
(Compound 446),
3-[2-Chloro-4-(2-chloro-4-fluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid
20 (Compound 449),
3-[2-Chloro-4-(4-fluoro-phenylamino)-benzoyl]-4-methoxy-benzoic acid (Compound
457),
3-(2-Chloro-4-phenylamino-benzoyl)-4-methoxy-benzoic acid (Compound 459),
[2-Chloro-4-(2-nitro-phenylamino)-phenyl]-{2-methyl-4-[2-(tetrahydro-pyran-2-
25 yloxy)-ethoxy]-phenyl}-methanone (Compound 472),
[4-(4-Bromo-2-nitro-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[2-(tetrahydro-
pyran-2-yloxy)-ethoxy]-phenyl} (Compound 473),
[4-(4-Bromo-2-nitro-phenylamino)-2-chloro-phenyl]-{2-methyl-4-[3-(tetrahydro-
pyran-2-yloxy)-propoxy]-phenyl}-methanone (Compound 477),
30 [4-(4-Bromo-2-nitro-phenylamino)-2-chloro-phenyl]-[4-(2-fluoro-ethoxy)-2-methyl-
phenyl]-methanone (Compound 481),
[4-(4-Bromo-2-nitro-phenylamino)-2-chloro-phenyl]-[4-(2-methoxy-ethoxy)-2-methyl-
phenyl]-methanone (Compound 485),
[2-Chloro-4-(2-nitro-phenylamino)-phenyl]-[2-fluoro-5-(2-morpholin-4-yl-ethoxy)-
35 phenyl]-methanone (compound 518),

[2-Chloro-4-(2-nitro-phenylamino)-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-fluoro-phenyl]-methanone (compound 519), and
[2-Chloro-4-(2-nitro-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-fluoro-phenyl]-methanone (compound 520).

5

32. A pharmaceutical composition comprising a compound according to any one of claims 1-31 or a pharmaceutically acceptable salt or ester thereof together with a pharmaceutically acceptable vehicle or excipient.
- 10 33. A composition according to claim 32 further comprising another active component selected from the group consisting of glucocorticoids, vitamin D analogues, anti-histamines, platelet activating factor (PAF) antagonists, anticolergenic agents, methyl xanthines, β -adrenergic agents, COX-2 inhibitors, salicylates, indomethacin, flufenamate, naproxen, timegadine, gold salts, penicillamine, serum cholesterol reducing agents, retinoids, zinc salts and salicylazosulfapyridin.
- 15 34. A compound according to any one of claims 1-31 for use as a medicament.
- 20 35. A compound according to any one of claims 1-31 for use as an anti-inflammatory agent or anticancer agent.
- 25 36. Use of a compound according to any one of claims 1-31 for the manufacture of a medicament for the prophylaxis, treatment or amelioration of inflammatory diseases or conditions, or ophthalmic diseases or conditions.
- 30 37. Use of a compound according to any one of claims 1-31 for the manufacture of a medicament for the treatment or amelioration of cancer.
- 35 38. The use of claim 36, wherein the medicament is intended for administration together with another active component selected from the group consisting of glucocorticoids, vitamin D analogues, anti-histamines, platelet activating factor (PAF) antagonists, anticholinergic agents, methyl xanthines, β -adrenergic agents, COX-2 inhibitors, salicylates, indomethacin, flufenamate, naproxen, timegadine, gold salts, penicillamine, serum cholesterol reducing agents, retinoids, zinc salts and salicylazosulfapyridin.

39. The use of claim 36 or 38, wherein the inflammatory disease or condition is asthma, allergy, arthritis, rheumatoid arthritis, spondyloarthritis, gout, atherosclerosis, chronic inflammatory bowel disease, Crohn's disease, neurological inflammations, inflammatory eye diseases, proliferative and inflammatory skin disorders, psoriasis, 5 atopic dermatitis, acne, uveitis, sepsis, septic shock or acne, osteoporosis.

40. The use of claim 36, wherein the ophthalmic disease is acute macular degeneration or age-related macular degeneration.

10 41. A method of preventing, treating or ameliorating inflammatory diseases or conditions, or ophthalmic diseases or conditions, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-31.

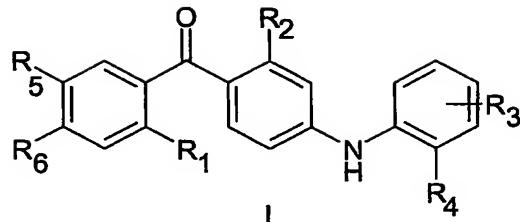
15 42. A method of treating or ameliorating cancer, the method comprising administering to a patient in need thereof an effective amount of a compound according to any one of claims 1-31.

20 43. The method of claim 41 further comprising administering another active component selected from the group consisting of glucocorticoids, vitamin D analogues, anti-histamines, platelet activating factor (PAF) antagonists, anticholinergics, methyl xanthines, β -adrenergic agents, COX-2 inhibitors, salicylates, indomethacin, flufenamate, naproxen, timogadine, gold salts, penicillamine, serum cholesterol reducing agents, retinoids, zinc salts and salicylazosulfapyridin.

25 44. The method of claim 41 or 43, wherein the inflammatory disease or condition is asthma, allergy, arthritis, rheumatoid arthritis, spondyloarthritis, gout, atherosclerosis, chronic inflammatory bowel disease, Crohn's disease, neurological inflammations, inflammatory eye diseases, proliferative and inflammatory skin disorders, psoriasis, 30 atopic dermatitis, acne, uveitis, sepsis, septic shock or acne, and osteoporosis.

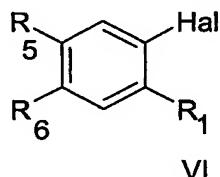
45. The method of claim 41, wherein the ophthalmic disease is acute macular degeneration or age-related macular degeneration.

35 46. A method for producing a compound of general structure I,



wherein R₁, R₂, R₃, R₄, R₅, and R₆ are defined as in claim 1, comprising the steps of

a) transforming a compound general structure VI,

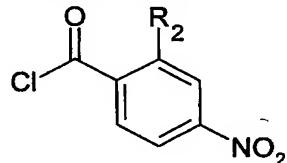


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wherein Hal is a halogen, and R₁, R₅ and R₆ are defined as in claim 1, each of which are independently protected or unprotected, into an organometallic intermediate;

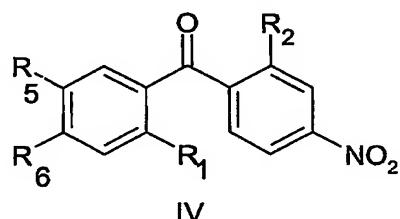
b) transmetalating said organometallic intermediate to an organozinc intermediate;

c) coupling said organozinc intermediate with an acid halide of general structure V,



10

wherein R₂ is defined as in claim 1, protected or unprotected, in the presence of a catalyst to give a compound of general structure IV,



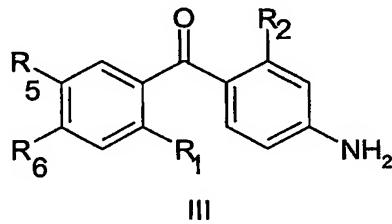
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wherein R₁, R₂, R₅, and R₆ are defined as above, each of which are independently protected or unprotected;

d) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R_1 , R_2 , R_5 , and R_6 of the compound of general structure IV to give another compound of general structure IV;

e) reducing the compound of general structure IV from step c) or d) to an amine of

5 general structure III,

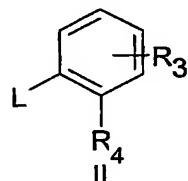


wherein R_1 , R_2 , R_5 , and R_6 are defined as above, each of which are independently protected or unprotected;

f) optionally transforming, protecting or deprotecting one or more substituents or

10 functional groups of R_1 , R_2 , R_5 , and R_6 of the compound of general structure III to give another compound of general structure III;

g) coupling of the amine of general structure III from step e) or f) with a compound of general structure II,

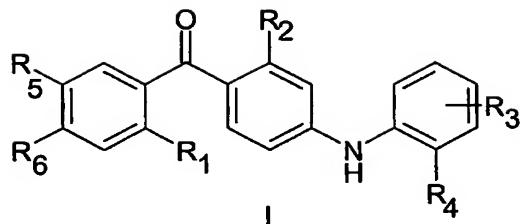


15 wherein L is triflate or halogen, R_3 and R_4 are defined in claim 1, each of which are independently protected or unprotected, to give a compound of general structure I, wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are defined as above, each of which are independently protected or unprotected;

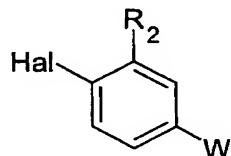
20 h) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R_1 , R_2 , R_3 , R_4 , R_5 , or R_6 of the compound of general structure I from step g) to give a another compound of general structure I.

47. A method for producing a compound of general structure I,

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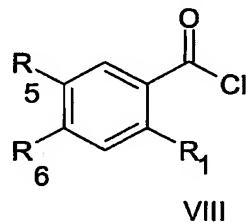
wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are defined as in claim 1, comprising the steps of
 a) transforming a compound general structure VIIa,



VIIa

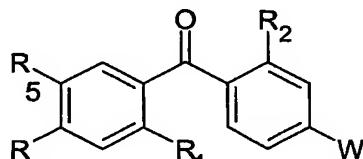
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wherein Hal is halogen, W is halogen or triflate, and R_2 is as defined in claim 1, protected or unprotected, into an organometallic intermediate;
 b) transmetalating said organometallic intermediate to an organozinc intermediate;
 10 c) coupling said organozinc intermediate with an acid halide of general structure VIII,



wherein R_1 , R_5 , and R_6 are as defined in claim 1, each of which are independently protected or unprotected, in the presence of a catalyst to give a compound of general structure IIIa,

15

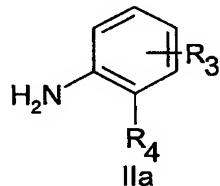


IIIa

wherein W, R₁, R₂, R₅, and R₆ are defined as above, each of which are independently protected or unprotected;

5 d) optionally transforming, protecting or deprotecting one or more substituents or functional groups of W, R₁, R₂, R₅, and R₆ of the compound of general structure IIIa to give another compound of general structure IIIa;

e) coupling of the compound of general structure IIIa from step c) or d) with an amine of general structure IIa,



10

wherein R₃ and R₄ are defined as in claim 1, each of which are independently protected or unprotected, to give a compound of general structure I,

wherein R₁, R₂, R₃, R₄, R₅, and R₆ are defined as above, each of which are independently protected or unprotected;

15 f) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R₁, R₂, R₃, R₄, R₅, or R₆ of the compound of general structure I from step e) to give another compound of general structure I.

48. The method according to claim 46 or 47, wherein the coupling in step c) is in the
20 presence of a copper salt.